

10/687,334

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NEWS 3 JAN 27 Source of Registration (SR) information in REGISTRY updated  
and searchable  
NEWS 4 JAN 27 A new search aid, the Company Name Thesaurus, available in  
CA/CAPLUS  
NEWS 5 FEB 05 German (DE) application and patent publication number format  
changes  
NEWS 6 MAR 03 MEDLINE and L MEDLINE reloaded  
NEWS 7 MAR 03 MEDLINE file segment of TOXCENTER reloaded  
NEWS 8 MAR 03 FRANCEPAT now available on STN  
NEWS 9 MAR 29 Pharmaceutical Substances (PS) now available on STN  
NEWS 10 MAR 29 WPIFV now available on STN  
NEWS 11 MAR 29 No connect hour charges in WPIFV until May 1, 2004  
NEWS 12 MAR 29 New monthly current-awareness alert (SDI) frequency in RAPRA  
NEWS 13 APR 26 PROMT: New display field available  
NEWS 14 APR 26 FIPAT/IFIUDB/IFICDB: New super search and display field  
available  
NEWS 15 APR 26 LITAlert now available on STN  
NEWS 16 APR 27 NLDB: New search and display fields available  
  
NEWS EXPRESS MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT  
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 13 APRIL 2004  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 09:34:17 ON 29 APR 2004

=> FIL STNGUIDE

10/687,334

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'STNGUIDE' ENTERED AT 09:34:23 ON 29 APR 2004  
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FILE CONTAINS CURRENT INFORMATION.  
LAST RELOADED: Apr 23, 2004 (20040423/UP).

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.06	0.27

FILE 'HOME' ENTERED AT 09:34:27 ON 29 APR 2004

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.48

FILE 'REGISTRY' ENTERED AT 09:34:33 ON 29 APR 2004  
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STRUCTURE FILE UPDATES: 27 APR 2004 HIGHEST RN 677274-15-6  
DICTIONARY FILE UPDATES: 27 APR 2004 HIGHEST RN 677274-15-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

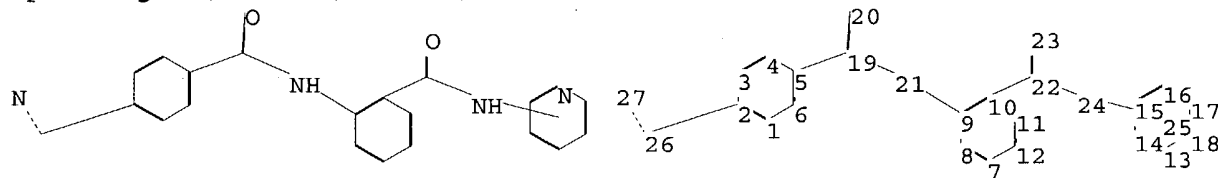
Please note that search-term pricing does apply when  
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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
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<http://www.cas.org/ONLINE/DBSS/registryss.html>

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Uploading C:\STNEXP4\QUERIES\10687334.str

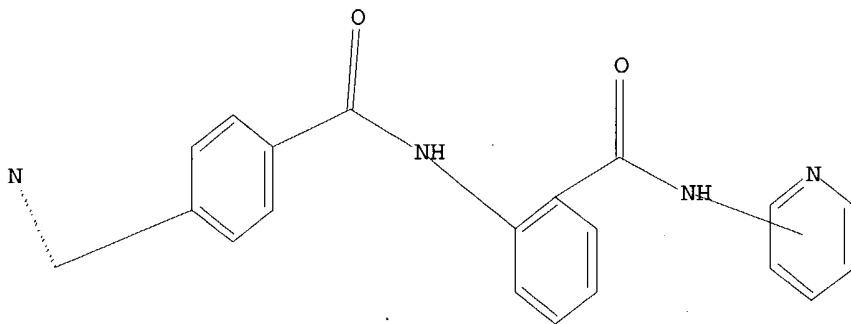


10/687,334

chain nodes :  
19 20 21 22 23 24  
ring nodes :  
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18  
ring/chain nodes :  
26 27  
chain bonds :  
2-26 5-19 9-21 10-22 19-20 19-21 22-24 22-23  
ring/chain bonds :  
26-27  
ring bonds :  
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-18  
14-15 15-16 16-17 17-18  
exact/norm bonds :  
9-21 19-20 19-21 22-24 22-23 26-27  
exact bonds :  
2-26 5-19 10-22  
normalized bonds :  
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-18  
14-15 15-16 16-17 17-18  
  
Match level :  
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS  
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS

L1 STRUCTURE UPLOADED

=> dis l1  
L1 HAS NO ANSWERS  
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 sam  
SAMPLE SEARCH INITIATED 09:34:58 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 76 TO ITERATE

100.0% PROCESSED 76 ITERATIONS  
SEARCH TIME: 00.00.01

29 ANSWERS

10/687,334

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 997 TO 2043  
PROJECTED ANSWERS: 257 TO 903

L2 29 SEA SSS SAM L1

=> s l1 full  
FULL SEARCH INITIATED 09:35:03 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 1538 TO ITERATE

100.0% PROCESSED 1538 ITERATIONS 575 ANSWERS  
SEARCH TIME: 00.00.01

L3 575 SEA SSS FUL L1

=> file caplus  
COST IN U.S. DOLLARS SINCE FILE TOTAL  
ENTRY SESSION  
FULL ESTIMATED COST 155.42 155.90

FILE 'CAPLUS' ENTERED AT 09:35:07 ON 29 APR 2004  
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FILE COVERS 1907 - 29 Apr 2004 VOL 140 ISS 18  
FILE LAST UPDATED: 28 Apr 2004 (20040428/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L4 10 L3

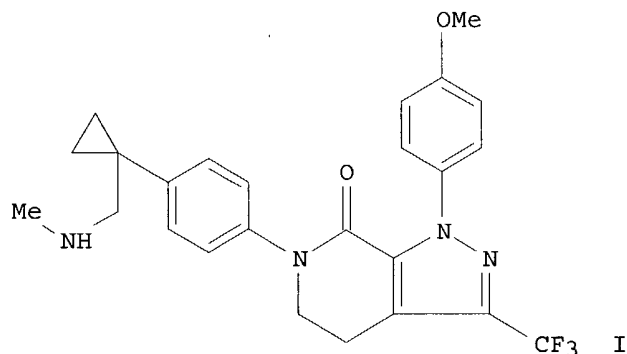
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20437244 PD<MARCH 2000  
(PD<20000300)  
L5 0 L4 AND PD<MARCH 2000

=> dis l4 1-10 bib abs

L4 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2003:950836 CAPLUS  
DN 140:16722  
TI Preparation of 1,1-disubstituted cycloalkyl derivatives as factor Xa inhibitors for treating a thromboembolic disorder  
IN Qiao, Jennifer X.; Pinto, Donald J.; Orwat, Michael J.; Han, Wei;

Friedrich, Sarah R.  
 PA Bristol-Myers Squibb Company, USA  
 SO PCT Int. Appl., 686 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003099276	A1	20031204	WO 2003-US13893	20030505
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRAI	US 2002-379357P	P	20020510		
	US 2002-415367P	P	20021002		
OS	MARPAT 140:16722				
GI					



AB The present application describes 1,1-disubstituted cycloalkyl compds. and derivs. thereof (P4-P-M-M4; variables defined below; most of the examples contain 1,4,5,6-tetrahydro-7H-pyrazolo[3,4-c]pyridin-7-one, e.g. the trifluoroacetate of I), or pharmaceutically acceptable salt forms thereof, which are useful as inhibitors of factor Xa for treatment of a thromboembolic disorder. Although the methods of preparation are not claimed, .apprx.240 example preps. are included. A number of I exhibit Ki's of <10  $\mu$ M towards factor Xa; also some I are direct acting inhibitors (Ki < 10  $\mu$ M) of the serine protease thrombin as indicated by their ability to inhibit the cleavage of small mol. substrates by thrombin in a purified system; the specific compds. are not stated. For I: M is a 3-10 membered carbocycle or a 4-10 membered heterocycle, consisting of: C atoms and 1-3 heteroatoms = O, S(O)p, N, and NZ2; ring M is substituted with 0-3 R1a and 0-2 carbonyl groups, and there are 0-3 ring double bonds; P is fused onto ring M and is a 5, 6, or 7 membered carbocycle or a 5, 6, or 7 membered heterocycle, consisting of: C atoms and 1-3 heteroatoms = O, S(O)p, and N; ring P is substituted with 0-3 R1a and 0-2 carbonyl groups, and there are

0-3 ring double bonds; alternatively, ring P is absent and P4 is directly attached to ring M, provided that when ring P is absent, P4 and M4 are attached to the 1,2, 1,3, or 1,4 positions of ring M. One of P4 and M4 is -Z-A-B and the other -G1-G, provided that P4 and M4 are attached to different rings when ring P is present; G consists of 2 fused rings D and E (ring D, including the two atoms of Ring E to which it is attached, is a 5-6 membered ring consisting of carbon atoms and 0-2 heteroatoms selected from the group consisting of N, O, and S(O)p; E is selected from (un)substituted Ph, pyridyl, pyrimidyl, pyrazinyl, and pyridazinyl; alternatively, ring D is absent and ring E is selected from (un)substituted Ph, pyridyl, pyrimidyl, pyrazinyl, pyridazinyl, pyrrolyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, triazolyl, thienyl, and thiazolyl); G1 is absent or = (CR3R3a)1-5, etc. A = (un)substituted C3-10 carbocycle and 5-12 membered heterocycle consisting of: C atoms and 1-4 heteroatoms N, O, and S(O)p; B is Y-R4a or X-Y-R4a, provided that Z and B are attached to different atoms on A and A and R4a or X and R4a are attached to the same atom on Y; Z = a bond, -(CR3R3e)1-4-, etc. Addnl. details including provisos are given in the claims.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

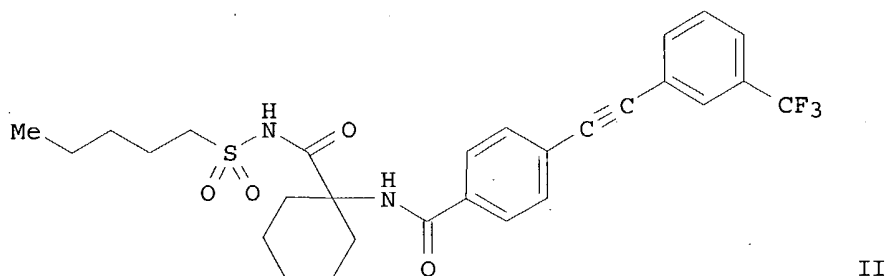
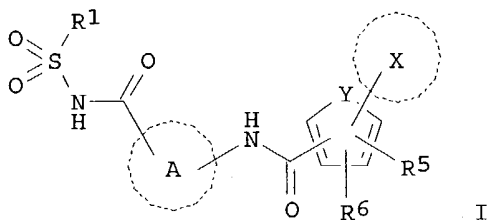
L4 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2003:570947 CAPLUS  
DN 139:133255  
TI Preparation of alkylsulfonamide derivatives as acetyl CoA carboxylase (ACC) activity inhibitors for treatment of obesity, hyperlipemia, and fatty liver  
IN Suzuki, Nobuyasu; Nihei, Yukio; Ichinose, Hidehiro; Hatanaka, Toshihiro; Maezono, Katsumi; Ohsumi, Koji; Kondo, Nobuo  
PA Ajinomoto Co., Inc., Japan  
SO PCT Int. Appl., 66 pp.  
CODEN: PIXXD2  
DT Patent  
LA Japanese  
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003059871	A1	20030724	WO 2003-JP98	20030109
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI JP 2002-2178 A 20020109

OS MARPAT 139:133255

GI



AB The title amides with general formula of I [wherein R1 = (un)substituted alkyl, alkenyl, alkynyl, aromatic hydrocarbyl, aromatic heterocyclyl, amino, alkoxy, alkenyloxy, alkynyloxy, or R20; R2 = (un)substituted aromatic hydrocarbyl or aromatic heterocyclyl; or R1SO2 = (un)substituted aromatic (hetero)cyclyl; Y = -CR3=CR4-, -CONR3-, -NR3CO-, -N=CR3-, -CR3=N-, S, or O; R3-R6 = independently H, OH, SH, NO2, halo, CN, (un)substituted aromatic hydrocarbyl, alkyl, alkenyl, alkynyl, alkoxy, amino, or alkylthio; A = (un)substituted aromatic hydrocarbyl, aromatic heterocyclyl, cyclyl, alkenyl, nonarom. heterocyclyl, or alkylene; X = (un)substituted aromatic hydrocarbyl, heterocyclyl, cycloalkyl, or cycloalkenyl, etc.] and pharmaceutically acceptable salts thereof are prepared For example, the amide II was prepared in a multi-step synthesis. II showed IC50 of 1.00  $\mu$ M against acetyl CoA carboxylase (ACC) in rat. I are useful for the treatment of obesity, hyperlipemia, and fatty liver (no data).

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:777883 CAPLUS

DN 137:294873

TI Preparation of pyridyl- and phenylbenzamides as factor Xa inhibitors for treatment of coagulation disorders

IN Zhu, Bin-Yan; Zhang, Penglie; Goldman, Erick A.; Jia, Zhaozhing Jon; Bauer, Shawn; Huang, Wenrong; Woolfrey, John; Scarborough, Robert M.

PA Millennium Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 325 pp.

CODEN: PIXXD2

DT Patent

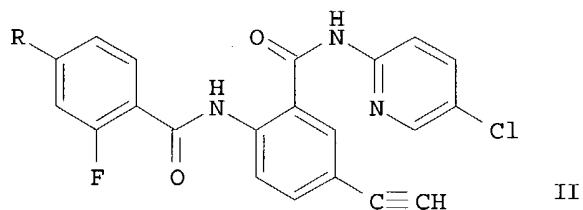
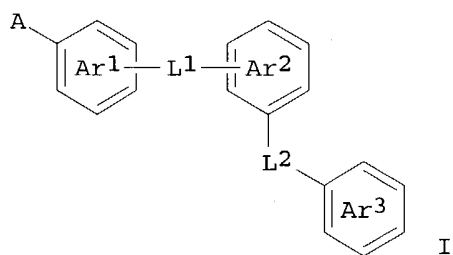
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002079145	A1	20021010	WO 2002-US10523	20020401

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PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,  
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 TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,  
 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 US 2003069250 A1 20030410 US 2002-115135 20020401  
 EP 1373194 A1 20040102 EP 2002-726698 20020401  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
 PRAI US 2001-279696P P 20010330  
 WO 2002-US10523 W 20020401  
 OS MARPAT 137:294873  
 GI



AB Title compds. I [wherein Ar1-Ar3 = independently (un)substituted Ph, pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl, or thiophenyl; L1 = direct link, (alkyl)0-2aminocarbonyl, or (alkyl)1-2amino; L2 = (alkyl)0-2aminocarbonyl or (alkyl)1-2amino; A = (un)substituted Ph, pyridinyl, imidazolyl, aminoiminomethyl, azacycllyl, guanidyl, etc.; and pharmaceutically acceptable isomers, salts, hydrates, solvates, and prodrugs thereof] were prepared. For example, reaction of 2-amino-5-chloropyridine with 5-bromoisatoic anhydride in the presence of lithium bis(trimethylsilyl)amide in anhydrous THF gave 2-amino-5-bromo-N-(5-chloro-2-pyridyl)benzamide (73.6%). Treatment with Pd(PPh<sub>3</sub>)<sub>4</sub>, CuI, and (trimethylsilyl)acetylene in BuNH<sub>2</sub> afforded the 2-amino-5-(trimethylsilylacetylenyl)benzamide derivative (91%). Amidation with 4-cyano-2-fluorobenzoic acid (94%), followed by deprotection with tert-butylammonium fluoride in THF (100%), afforded II (R = CN). The nitrile was converted to the title compound II [R = C(:NH)NMe<sub>2</sub>] by addition NHMe<sub>2</sub> in the presence of 10% TEA/pyridine and MeI in anhydrous acetone. I have activity against mammalian factor Xa and are useful in vitro or in vivo for preventing or treating coagulation disorders (no data).

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2002:256253 CAPLUS



DN 136:279478  
 TI Preparation of quaternary amidinophenylcarboxamides as factor Xa inhibitors  
 IN Zhu, Bing-Yan; Huang, Wenrong; Zhang, Penglie; Jia, Zhaozhong Jon; Bao, Liang; Wu, Yanhong; Scarborough, Robert M.  
 PA Cor Therapeutics, Inc., USA  
 SO PCT Int. Appl., 76 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002026731	A2	20020404	WO 2001-US30314	20011001
	WO 2002026731	A3	20021003		
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	AU 2002011281	A5	20020408	AU 2002-11281	20011001
	EP 1322637	A2	20030702	EP 2001-979305	20011001
	R:		AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR		
	US 2004077690	A1	20040422	US 2003-381929	20031016
PRAI	US 2000-236385P	P	20000929		
	WO 2001-US30314	W	20011001		
OS	MARPAT 136:279478				
GI					

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

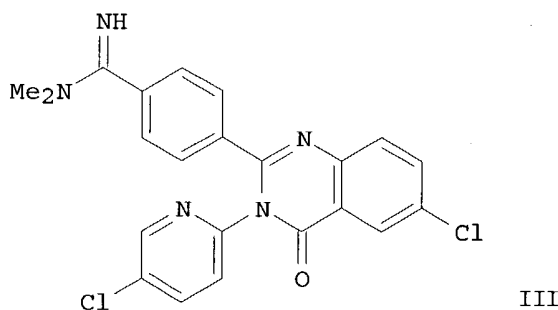
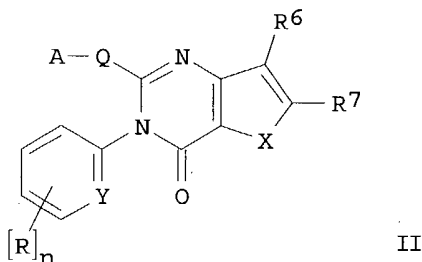
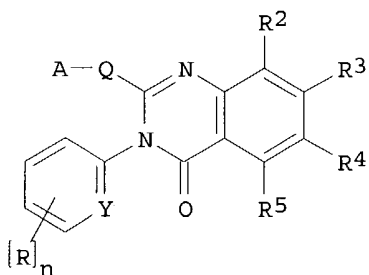
AB Title compds. [I; R = H, OCH<sub>3</sub>, OH, 4-morpholinyl, 1-piperidinyl, N(CH<sub>3</sub>)<sub>2</sub>, 4-tert-butoxycarbonyl-1-piperazinyl, 2-piperazinyl, HOCH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>), CH<sub>3</sub>OCH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>); R<sub>1</sub> = H, Cl, OCH<sub>3</sub>, F; R<sub>2</sub> = H, F; R<sub>4</sub> = CH<sub>3</sub>, CH<sub>3</sub>CH<sub>2</sub>, CH<sub>3</sub>OCOCH<sub>2</sub>, HOOCCH<sub>2</sub>; R<sub>5</sub> = CH<sub>3</sub>, HO(CH<sub>2</sub>)<sub>3</sub>, HO(CH<sub>2</sub>)<sub>2</sub>, (CH<sub>3</sub>)<sub>2</sub>N(CH<sub>2</sub>)<sub>2</sub>; A = C(N(CH<sub>3</sub>)<sub>2</sub>):N((CH<sub>3</sub>)<sub>2</sub>), Q, Q<sub>1</sub>, Q<sub>2</sub>; X = H, CH<sub>3</sub>; Y = Cl, Br], pharmaceutically acceptable isomers, salts, hydrates, solvates and prodrug derivs. are prepared and are having activities against mammalian factor Xa. Compns. containing title compds. I are also described. Title compds. I and the compns. are useful in vitro or in vivo for preventing or treating conditions in mammals characterized by undesired thrombosis.

L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2002:256241 CAPLUS  
 DN 136:294843  
 TI Preparation of bicyclic pyrimidin-4-one based inhibitors of factor Xa  
 IN Zhang, Penglie; Li, Wenhao; Huang, Wenrong; Wang, Lingyan; Jia, Zhaozhong Jon; Scarborough, Robert M.; Zhu, Bing-Yan  
 PA Cor Therapeutics, Inc., USA  
 SO PCT Int. Appl., 59 pp.  
 CODEN: PIXXD2  
 DT Patent

LA English

FAN.CNT 1

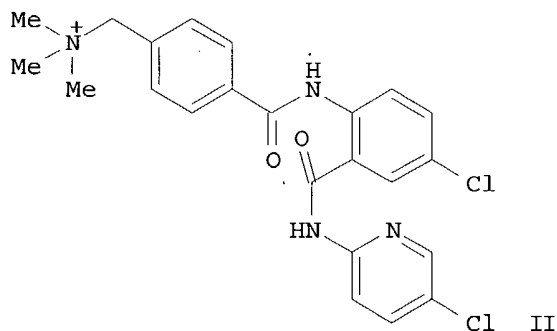
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002026718	A2	20020404	WO 2001-US30335	20011001
	WO 2002026718	A3	20020829		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002014546	A5	20020408	AU 2002-14546	20011001
PRAI	US 2000-236331P	P	20000929		
	WO 2001-US30335	W	20011001		
OS	MARPAT 136:294843				
GI					



AB The title compds. [I or II; A = C(:NH)NMe<sub>2</sub>, C(:NH)NH<sub>2</sub>, 1-methylimidazol-2-yl; Q = (un)substituted phenylene, thienylene, pyridylene; R<sub>2</sub> = H, halo, alkoxy, etc.; R<sub>3</sub>-R<sub>7</sub> = H, F, Cl, alkoxy, etc.; Y = CH, N; X = O, S; R = H, halo, alkyl, etc.; n = 1-5] having activity against mammalian factor Xa, and therefore useful in vitro or in vivo for preventing or treating conditions in mammals characterized by undesired thrombosis, were prepared E.g., a 4-step synthesis of III, starting with 2-amino-5-chloropyridine and 5-chloroisatoic anhydride, was given.

L4 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2002:256235 CAPLUS  
 DN 136:279349  
 TI Preparation of novel quaternary amine containing benzamides as inhibitors of factor Xa  
 IN Zhang, Penglie; Zuckett, Jingmei Fan; Bao, Liang; Scarborough, Robert M.; Zhu, Bing-yan  
 PA Cor Therapeutics, Inc., USA  
 SO PCT Int. Appl., 55 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002026712	A2	20020404	WO 2001-US42352	20011001
	WO 2002026712	A3	20021017		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	AU 2002014626	A5	20020408	AU 2002-14626	20011001
	US 2004067938	A1	20040408	US 2003-381925	20031103
PRAI	US 2000-236330P	P	20000929		
	WO 2001-US42352	W	20011001		
OS	MARPAT 136:279349				
GI					



AB The title compds. AQDEGJZ [I; A = R1aR1bR1cN+; R1a, R1b, R1c = alkyl, haloalkyl, cycloalkyl, etc.; Q = a direct link, CH2; D = (un)substituted phenylene, naphthylene, etc.; E = a direct link, CH2, CONH, etc.; G = (un)substituted phenylene, etc.; J = a direct link, CONH, O, etc.; Z = (un)substituted Ph, naphthyl, pyridyl, etc.] having activity against mammalian factor Xa, and useful in vitro or in vivo for preventing or treating conditions in mammals characterized by undesired thrombosis, were prepared Thus, reacting 4-(chloromethyl)benzoyl chloride with 4-chloro-2-(5-chloro-2-pyridyl)aminocarbonylaniline in THF (91%) followed by treatment of the resulting N-(5-chloro-2-pyridyl)-2-(4-

chloromethylphenylcarbonyl)amino-5-chlorobenzamide with Me<sub>3</sub>N in iso-Pr/H<sub>2</sub>O (68%) afforded II.

L4 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:11104 CAPLUS

DN 136:69743

TI Preparation of pyridyl benzamides and related compounds as Factor Xa inhibitors.

IN Zhu, Bing-Yan; Zhang, Penglie; Wang, Lingyan; Huang, Wenrong; Goldman, Erick A.; Li, Wenhao; Zuckett, Jingmei; Song, Yonghong; Scarborough, Robert

PA USA

SO U.S. Pat. Appl. Publ., 259 pp., Cont.-in-part of U.S. Ser. No. 663,420. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002002183	A1	20020103	US 2001-794225	20010228
	US 6376515	B2	20020423		
	US 2003162690	A1	20030828	US 2002-126976	20020422
PRAI	US 2000-185746P	P	20000229		
	US 2000-663420	A2	20000915		
	US 2001-794225	A1	20010228		
OS	MARPAT 136:69743				
AB	AQDEGJX [A = alkyl, cycloalkyl, NR1R2, NR1R2C(:NR3), (substituted) Ph, naphthyl, heterocyclyl, etc.; R1-R3 = H, OR5, NR5R6, alkyl, alkenyl, etc.; R1R2 or R2R3 = atoms to form (substituted) cycloalkyl, heterocyclyl; R5, R6 = H, alkyl, alkenyl, alkynyl, cycloalkyl, (substituted) alkylphenyl, alkyl naphthyl; R5R6 = atoms to form a 3-8 membered (substituted) ring; Q = bond, CH2, CO, O, S, SO, SO2, NR7, SO2NR7, etc.; R7 = H, alkyl, alkenyl, alkynyl, cycloalkyl, alkylcycloalkyl, (substituted) alkylphenyl, alkyl naphthyl; D = bond, (substituted) Ph, naphthyl, mono- or bicyclic heterocyclyl; E = bond, alkyl, O, S, SO, SO2, alkylcarbonyl, etc.; G = (substituted) alkenyl, cycloalkenyl, phenylene, 3-8 membered (fused) (aromatic) heterocyclyl; J = bond, NR9CO, O, S, SO, SO2, CH2, NR9SO2, etc.; X = (substituted) Ph, naphthyl, (fused) heteroaryl], were prepared as antithrombotics (no data). Thus, N-(5-bromo-2-pyridinyl)-2-aminophenylcarboxamide (preparation given), 4-cyanobenzoyl chloride, and pyridine were stirred overnight in CH <sub>2</sub> Cl <sub>2</sub> to give 70% N-(5-bromo-2-pyridinyl)-[2-(4-cyanophenylcarbonyl)aminophenylcarboxamide. The latter in MeOH at 0° was saturated with HCl and stirred overnight followed by solvent evaporation. The residue was refluxed 2 h with NH <sub>4</sub> OAc in MeOH to give 70% N-(5-bromo-2-pyridinyl)-[2-(4-amidinophenylcarbonyl)aminophenylcarboxamide.				

L4 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:661392 CAPLUS

DN 135:226888

TI Preparation of pyridyl benzamides and related compounds as Factor Xa inhibitors.

IN Zhu, Bing-yan; Zhang, Penglie; Wang, Lingyan; Huang, Wenrong; Goldman, Erick; Li, Wenhao; Zuckett, Jingmei; Song, Yonghong; Scarborough, Robert

PA Cor Therapeutics, Inc., USA

SO PCT Int. Appl., 322 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001064643	A2	20010907	WO 2001-US6255	20010228
	WO 2001064643	A3	20020404		
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	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	EP 1259485	A2	20021127	EP 2001-918257	20010228
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
PRAI	US 2000-185746P	P	20000229		
	US 2000-663420	A	20000915		
	WO 2001-US6255	W	20010228		
OS	MARPAT 135:226888				
AB	AQDEGJX [A = alkyl, cycloalkyl, NR1R2, NR1R2C(:NR3), (substituted) Ph, naphthyl, heterocyclyl, etc.; R1-R3 = H, OR5, NR5R6, alkyl, alkenyl, etc.; R1R2 or R2R3 = atoms to form (substituted) cycloalkyl, heterocyclyl; R5, R6 = H, alkyl, alkenyl, alkynyl, cycloalkyl, (substituted) alkylphenyl, alkylphenyl; R5R6 = atoms to form a 3-8 membered (substituted) ring; Q = bond, CH2, CO, O, S, SO, SO2, NR7, SO2NR7, etc.; R7 = H, alkyl, alkenyl, alkynyl, cycloalkyl, alkylcycloalkyl, (substituted) alkylphenyl, alkylphenyl; D = bond, (substituted) Ph, naphthyl, mono- or bicyclic heterocyclyl; E = bond, alkyl, O, S, SO, SO2, alkylcarbonyl, etc.; G = (substituted) alkenyl, cycloalkenyl, phenylene, 3-8 membered (fused) (aromatic) heterocyclyl; J = bond, NR9CO, O, S, SO, SO2, CH2, NR9SO2, etc.; X = (substituted) Ph, naphthyl, (fused) heteroaryl], were prepared as antithrombotics (no data). Thus, N-(5-bromo-2-pyridinyl)-2-aminophenylcarboxamide (preparation given), 4-cyanobenzoyl chloride, and pyridine were stirred overnight in CH2Cl2 to give 70% N-(5-bromo-2-pyridinyl)-[2-(4-cyanophenylcarbonyl)aminophenylcarboxamide. The latter in MeOH at 0° was saturated with HCl and stirred overnight followed by solvent evaporation. The residue was refluxed 2 h with NH4OAc in MeOH to give 70% N-(5-bromo-2-pyridinyl)-[2-(4-amidinophenylcarbonyl)aminophenylcarboxamide.				

L4 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2001:661391 CAPLUS  
DN 135:210946  
TI Preparation of pyridylamides as Factor Xa inhibitors.  
IN Zhu, Bing-yan; Zhang, Penglie; Wang, Lingyan; Huang, Wenrong; Goldman, Erick; Li, Wenhao; Zuckett, Jingmei; Song, Yonghong; Scarborough, Robert  
PA Cor Therapeutics, Inc., USA  
SO PCT Int. Appl., 306 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001064642	A2	20010907	WO 2001-US6247	20010228
	WO 2001064642	A3	20020502		
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LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,  
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,  
YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 2000-185746P P 20000229  
US 2000-663420 A 20000915

OS MARPAT 135:210946

AB AQDEGJX [A = alkyl, cycloalkyl, NR1R2, NR1R1C(:NR3), (substituted) Ph, naphthyl, mono- or bicyclic heterocyclyl, etc.; R1-R3 = H, alkyl, alkenyl, alkynyl, cycloalkyl, (alkyl)aryl, (alkyl)heteroaryl, etc.; R1R2 or R2R3 = atoms to form a 3-8 membered (substituted) (heterocyclic) ring; Q = bond, CH2, CO, O, NR7, etc.; R7 = H, alkyl, (alkyl)aryl, (alkyl)heteroaryl, etc.; D = bond, (substituted) Ph, naphthyl, mono- or bicyclic heterocyclyl; E = bond, alkyl, S, SO, SO2, alkoxy, etc.; G = (substituted) alkenyl, cycloalkenyl, phenylene, heterocyclyl, fused cyclic system; J = bond, NR9CO, O, S, SO, SO2, SO2NR9, CH2, NR9, etc.; R9 = H, alkyl, (alkyl)aryl, etc.; X = (substituted) Ph, naphthyl, heteroaryl, fused bicyclyl], were prepared as antithrombotics (no data). Thus, N-(5-bromo-2-pyridinyl) 2-aminophenylcarboxamide (preparation given), 4-[(2-tert-butylaminosulfonyl)phenyl]benzoyl chloride, and pyridine were stirred overnight in CH2Cl2 to give 85% N-(5-bromo-2-pyridinyl)-[2-4-[(2-aminosulfonyl)phenyl]phenylcarbonylamino]phenylcarboxamide.

L4 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:208239 CAPLUS

DN 134:252153

TI Preparation of benzamides as inhibitors of factor Xa

IN Zhu, Bing-yan; Zhang, Penglie; Wang, Lingyan; Huang, Wenrong; Goldman, Eric; Li, Wenhao; Zuckett, Jingmei; Song, Yonghong; Scarborough, Robert

PA Cor Therapeutics, Inc., USA

SO PCT Int. Appl., 224 pp.

CODEN: PIXXD2

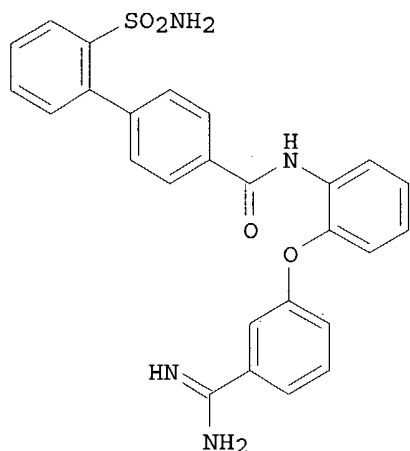
DT Patent

LA English

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001019788	A2	20010322	WO 2000-US25196	20000915
	WO 2001019788	A3	20010809		
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	RW:		GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG		
EP	1216228	A2	20020626	EP 2000-963452	20000915
	R:		AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL		
BR	2000014076	A	20021015	BR 2000-14076	20000915
JP	2003509406	T2	20030311	JP 2001-523368	20000915
NO	2002001229	A	20020521	NO 2002-1229	20020312
PRAI	US 1999-154332P	P	19990917		
	US 2000-185746P	P	20000229		
	WO 2000-US25196	W	20000915		
OS	MARPAT 134:252153				

GI



I

AB The title compds. AQDEGJX [A = alkyl, cycloalkyl, (un)substituted Ph, etc.; Q = a direct link, CH<sub>2</sub>, CO, etc.; D = a direct link, (un)substituted Ph, naphthyl, etc.; E = a direct link, O, alkyl, etc.; G = alkenylene, cycloalkenylene, phenylene, etc.; J = a direct link, O, S, etc.; X = a (un)substituted Ph, naphthyl, heteroaryl, etc.] having activity against mammalian factor Xa (no data), and useful in vitro or in vivo for preventing or treating coagulation disorders, were prepared E.g., a 4-step synthesis of the benzamide I was given.

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

27.66

183.56

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

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FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

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-6.93

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